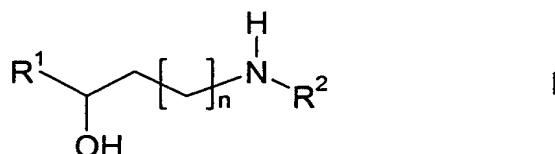


Patent Claims

1. Process for the enantioselective preparation of amino alcohols of the formula I

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in which

R^1 denotes a saturated, unsaturated or aromatic carbocyclic or heterocyclic radical which is unsubstituted or mono- or polysubstituted by R^3 and/or R^4 ,

R^2 denotes alkyl having 1-20 C atoms or H,

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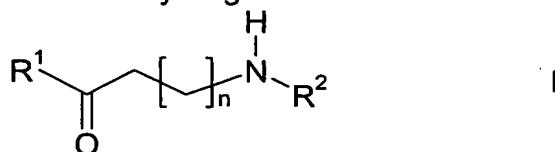
R^3, R^4 each, independently of one another, denote H, alkyl or alkoxy having 1-20 C atoms, aryl, aryloxy or $COOR^2$, F, Cl, Br, OH, CN, NO_2 , $N(R^2)_2$ or $NHCOR_2$

and

n denotes 0, 1, 2 or 3,

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by enantioselective hydrogenation of amino ketones of the formula II



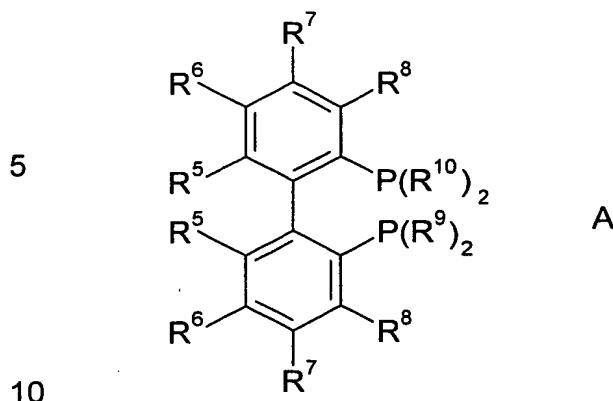
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in which

R^1, R^2 and n have the meaning indicated above, in the presence of a non-racemic catalyst, characterised in that the catalyst is a transition-metal complex in which the transition metal is complexed to a chiral diphosphine ligand A

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35



in which

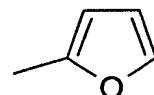
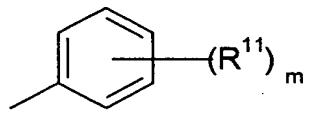
R^5, R^6, R^7 and R^8

each, independently of one another, denote H, alkyl or alkoxy having 1-20 C atoms, aryl, aryloxy or F, Cl, Br, $N(R^2)_2$ or $NHCOR_2$

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each, independently of one another, denote

20 R^9 and R^{10}



or cyclohexyl

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R^{11}

denotes H, alkyl or alkoxy having 1-20 C atoms, aryl, aryloxy or SO_3Na , $COOR^{12}$, F, Cl, $N(R^{12})_2$ or $NHCOR^{12}$,

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R^{12}

denotes alkyl having 1-20 C atoms or H

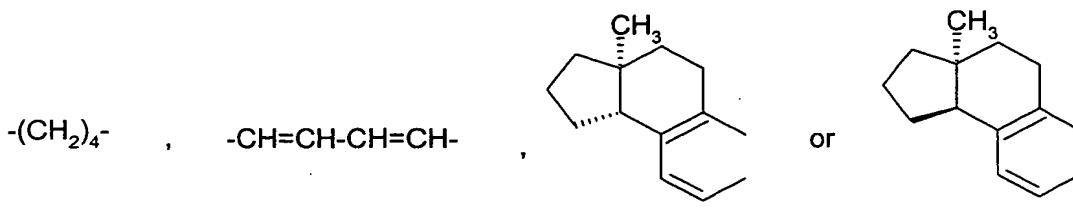
and

m

denotes 0, 1, 2 or 3,

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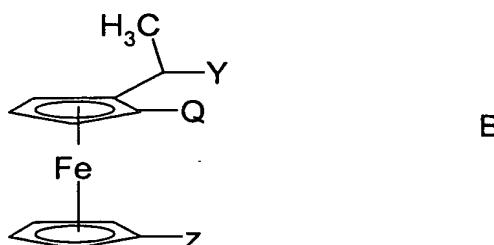
where R^5 and R^6 , R^6 and R^7 and R^7 and R^8 together can also have the meaning



or

or B

10



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in which

Y denotes OH, P(cyclohexyl)₂, P(3,5-dimethylphenyl)₂ or
P(C(CH₃)₃)₂,

Z denotes H or P(phenyl)₂,

Q denotes PPh₂, P(cyclohexyl)₂, P[3,5-bis(trifluoromethyl)phenyl]₂,
P(4-methoxy-3,5-dimethylphenyl)₂ or P(C(CH₃)₃)₂

and

Ph denotes phenyl, o-, m- or p-methylphenyl or dimethylphenyl.

2. Process according to Claim 1, in which R¹ denotes phenyl or 2-thienyl.

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3. Process according to Claim 1 or 2, in which R² denotes methyl, ethyl,
n-propyl or isopropyl.

4. Process according to one or more of Claims 1 to 3, in which n denotes
30 1.

5. Process according to Claim 1 for the preparation of (S)-3-methylamino-
1-phenyl-1-propanol or (S)-3-methylamino-1-(2-thienyl)-1-propanol or
acid-addition salts thereof.

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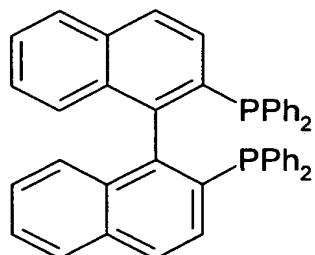
6. Process for the preparation of compounds of the formula I according to
one or more of Claims 1 to 5, characterised in that the chiral, non-

racemic catalyst is a transition-metal complex containing one or more metals or salts thereof selected from the group consisting of rhodium, iridium, ruthenium and palladium.

5 7. Process for the preparation of compounds of the formula I according to
one or more of Claims 1 to 6, characterised in that the chiral, non-
racemic catalyst is a transition-metal complex containing rhodium or
salts thereof.

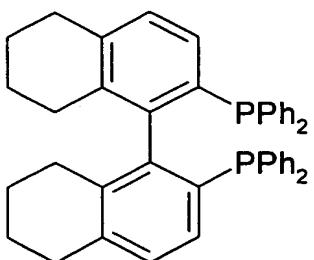
10 8. Process according to one or more of the preceding claims, character-
ised in that the chiral diphosphine ligand used is a compound of the
formula A1 to A5:

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A1

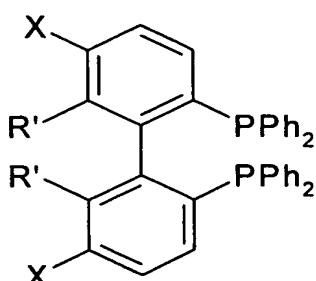
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A2

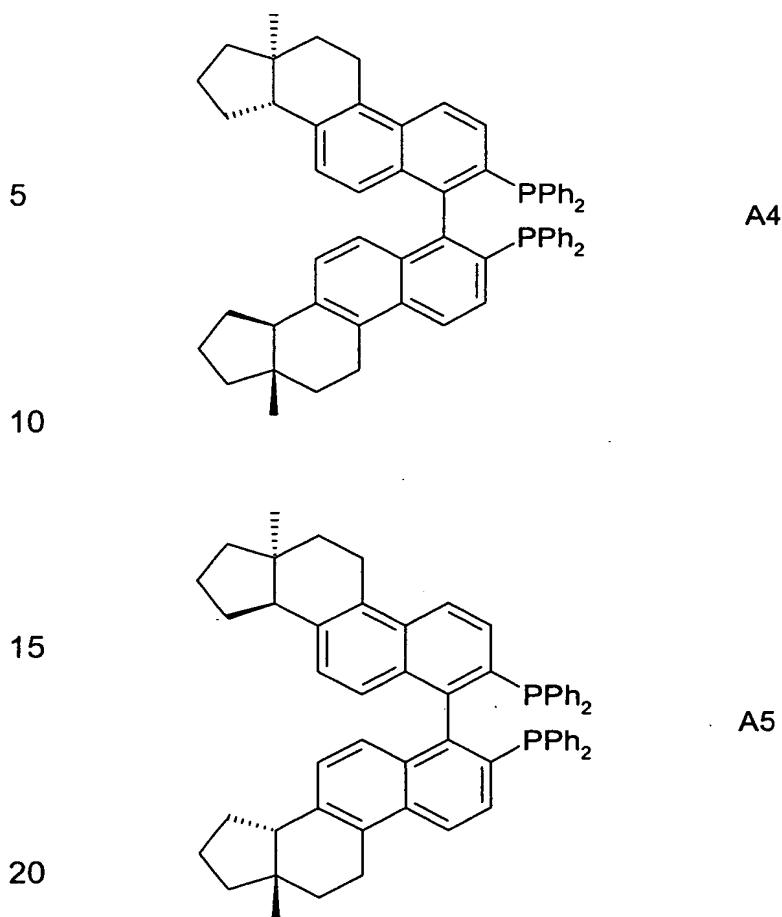
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A3

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in which Ph has the meaning indicated in Claim 1, and X denotes H, alkyl, O(alkyl), Cl, or F, and R' denotes alkyl O(alkyl) or F.

25 9. Process according to Claim 7 or 8, characterised in that the chiral diphosphine ligand used is (S)-(-)-2,2'-bis(di-p-tolylphosphino)-1,1'-binaphthyl or (S)-(-)-2,2'-bis(diphenylphosphino)-1,1'-binaphthyl.

30 10. Process for the preparation of compounds of the formula I according to one or more of Claims 1 to 9, characterised in that the reaction temperature is between 0 and 200°C.

35 11. Process for the preparation of compounds of the formula I according to one or more of Claims 1 to 10, characterised in that the catalyst/substrate ratio is between 1:5000 and 1:50.

12. Process for the preparation of compounds of the formula I according to one or more of Claims 1 to 11, characterised in that the hydrogenation is carried out under 1-200 bar of hydrogen.

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13. Process for the preparation of compounds of the formula I according to one or more of Claims 1 to 12, characterised in that the hydrogenation is carried out in the presence of an alcohol.

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14. Process for the preparation of compounds of the formula I according to one or more of the preceding claims, characterised in that the chiral, non-racemic catalyst is a transition-metal complex containing sulfate, chloride, bromide, iodide, PF_6^- , BF_4^- , methanesulfonate, toluene-sulfonate, hexachloroantimonate, hexafluoroantimonate or trifluoromethanesulfonate as anion.

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